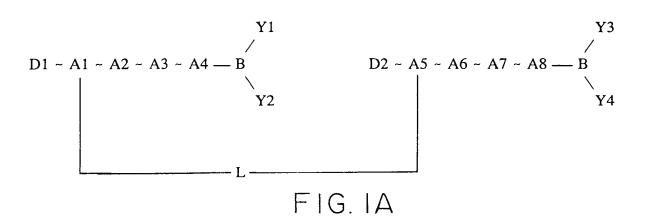
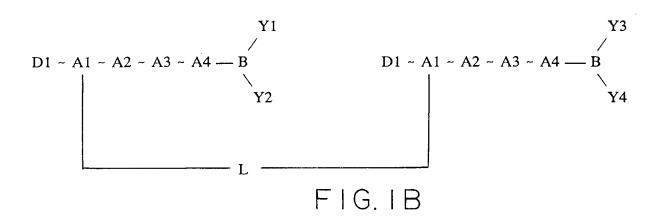
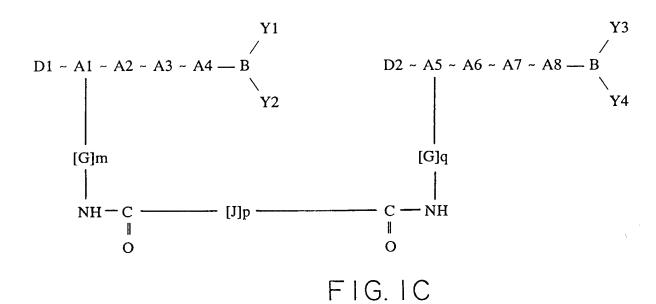
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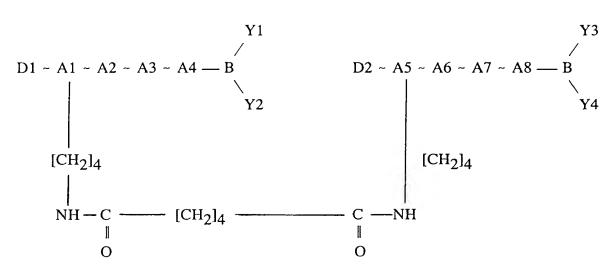


FIG. ID

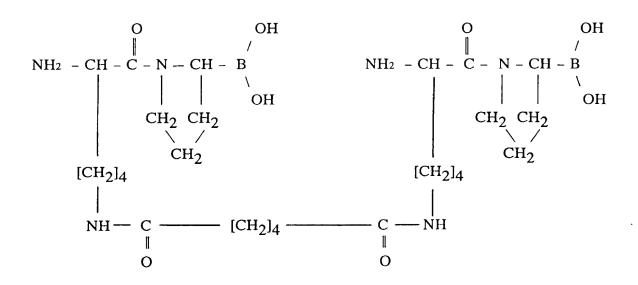


FIG. IE

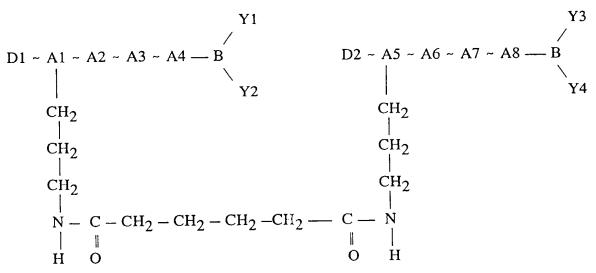


FIG.IF

FIG. IG

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CLASS SUBCLASS ΒŸ DRAFTSMAH

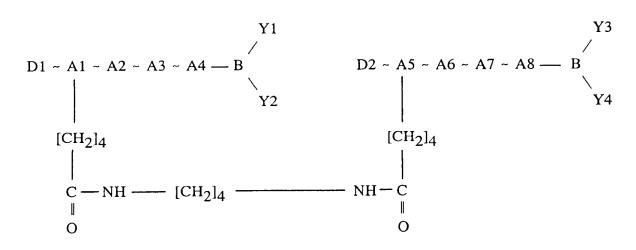


FIG. 1H

FIG. II

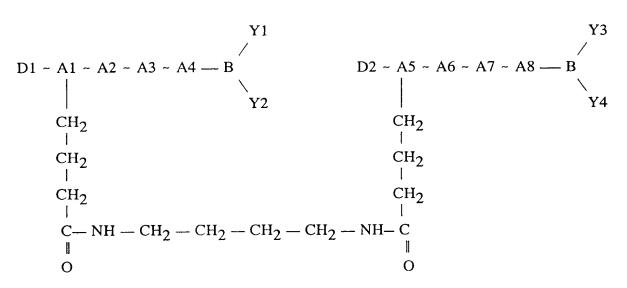
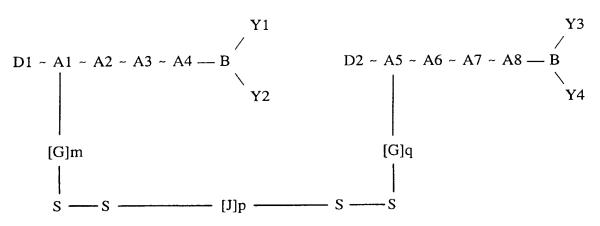


FIG.IJ



m, p, q = 1-50

FIG. IK

DRAFTSHAH

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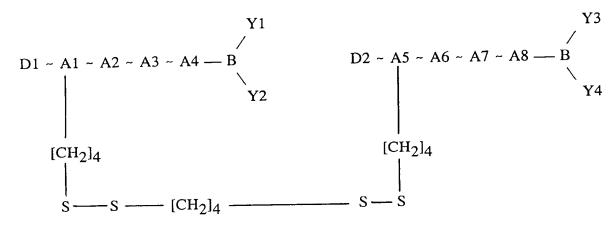


FIG. IL

FIG. IM

DRAFTSMAH

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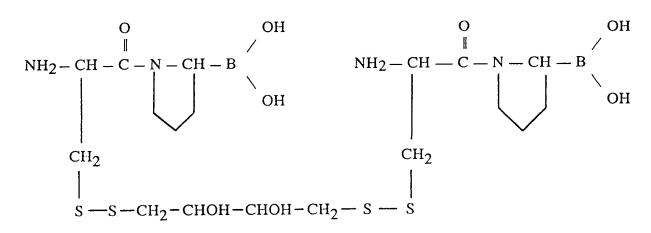


FIG.IN

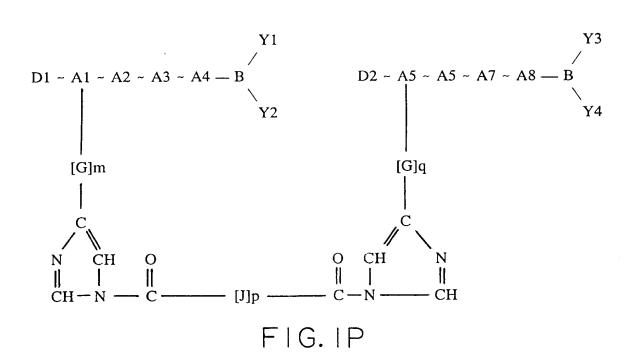
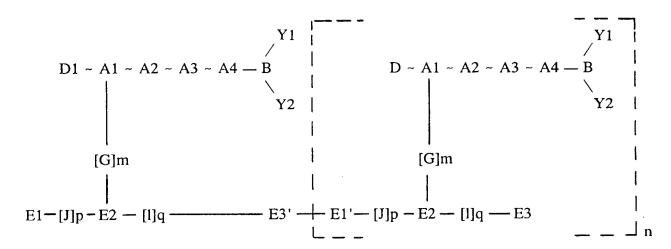


FIG. IQ

DRAFTSMAH

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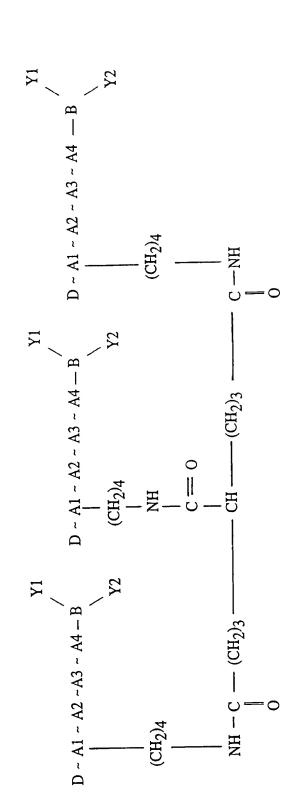
$$R - E3 + E1 - R' \rightarrow R - E3' - E1' - R' + F$$

 $F = 2H^+ + 2e^-, H_2O$, or other byproduct

R & R' = remainder of molecules not relevant to the reaction

FIG. IR

ORAFTSHAH



F16.19



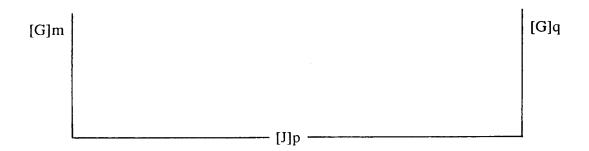


FIG.IT

FIG.IU

FIG. IV

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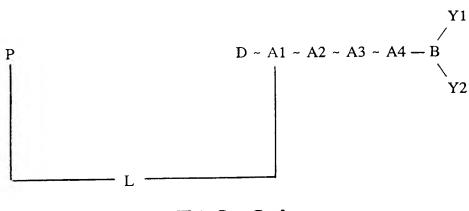
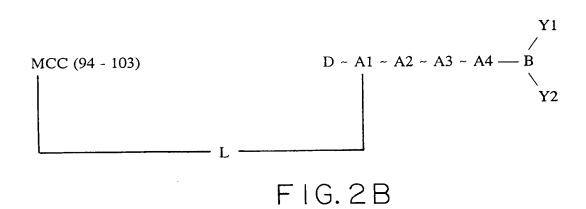


FIG. 2A



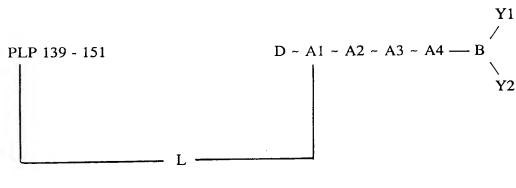


FIG.2C

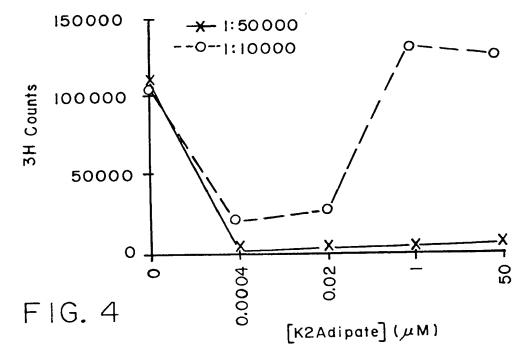
$$Z-NH-C-C-N-N-R$$
 $C-NH-C-C-N-N-R$
 $C-NH-C-C-N-N-R$
 $C-NH-C-C-N-N-R$
 $C-NH-C-C-N-N-R$
 $C-NH-C-C-N-N-R$
 $C-NH-C-C-C-N-R$
 $C-NH-C-C-C-R$
 $C-NH-C-C-R$
 $C-NH-C-R$
 $C-NH-C-R$

$$+ H = 0$$
 $NH_3 - C - C - N \rightarrow B$
 $CH_2 > 4$
 $CH_2 > 4$

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Adipoyl (Lys-boro Prolor Dimeric Lys-boro Pro





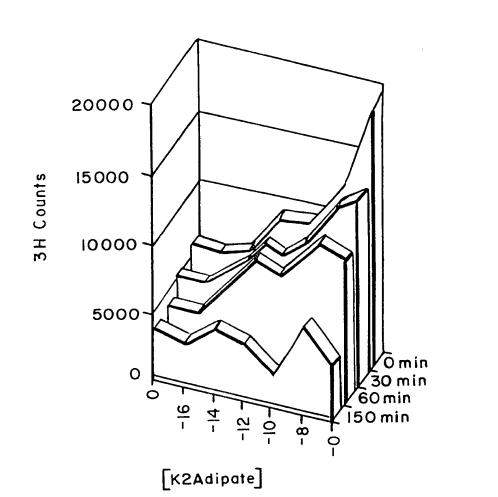


FIG.5



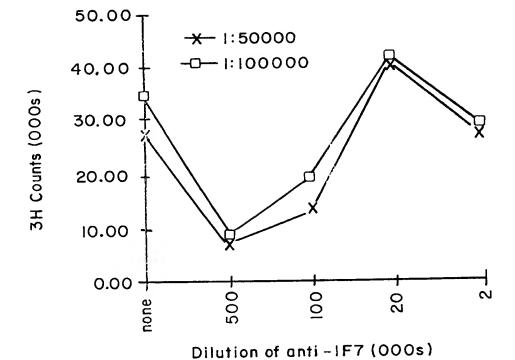
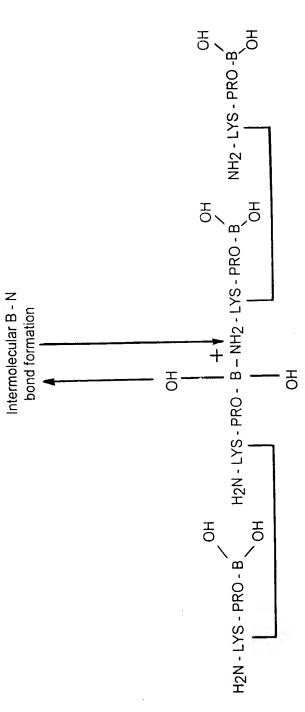


FIG.6

F IG. 8

НО	H2N - LYS - PRO - B
НО,	H2N - LYS - PRO - B
HO	H2N - LYS - PRO - B
НО	H2N - LYS - PRO - B



. Б Н

DRAFTSMAN

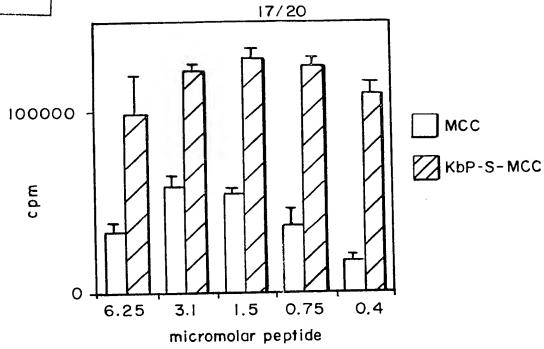
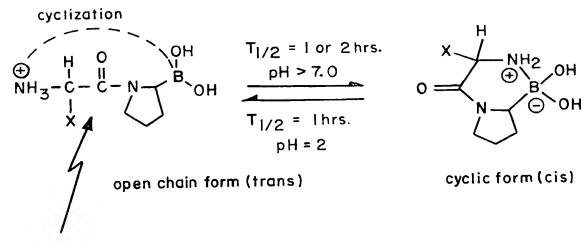


FIG. 9

Conformational Equilibrium of Xaa - boroproline Inhibitors



cis configuration is needed for cyclization

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 $\begin{array}{c|c} & & & \\ & & & \\ H2N-A1-C=C-C-B \\ & & & \\ & & & \\ & & & \\ & & & \\ OH \end{array}$

| |- C == C --: FLUOROOLFIN GROUP REPLACES --- | | | |

F16.11C

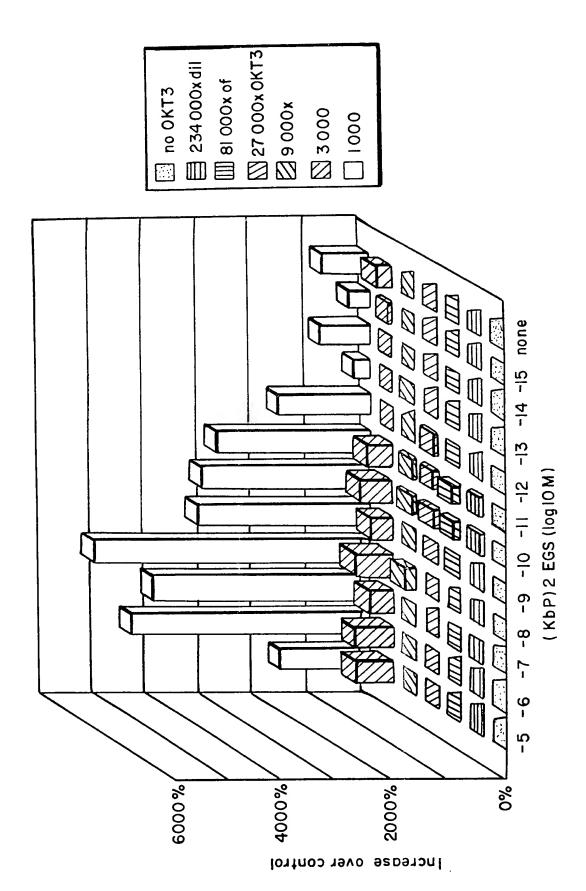
Ы

H2N - A1 C = C - CH - B

P

— C = C − : FLUOROOLFIN GROUP REPLACES -

R is any amino acid side chain



F16, 12

(KbP)2 EGS

EGS: Ethylene glycolbis (succinimidylsuccinate)

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